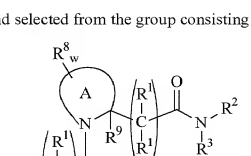
What is claimed is:

1. An active compound selected from the group consisting of a structure:



wherein w is 0 to about 6, x is 0 to about 10, and t is 0 to about 6;

A is a substituted heterocyclic group having about 4 to about 9 members;

R^1 is selected from the group consisting of a hydrogen atom, a hydroxyl group, a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group,

R^2 and R^3 are bonded together to form a substituted heterocyclic group having about 4 to about 9 members, with the proviso that the substituted heterocyclic group optionally contains 1 or more members selected from the group consisting of O, and NR^{10}, wherein R^{10} is selected from the group consisting of hydrogen atom, a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group;

R^4 is selected from the group consisting of $-S(O)_2-$, -C(O)-, -C(O)-C(O)-, and $-CH(R^1)-$;

R^5 is selected from the group consisting of $-NR^6(R^7)-$ and $-O_rR^6-$,

wherein r is 0 or 1;

R^6 is selected from the group consisting of a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted

heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group; and

R^7 is selected from the group consisting of a hydrogen atom and R^6;

R^8 is selected from the group consisting of a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group;

R^9 is selected from the group consisting of a hydrogen atom and a hydrocarbon group; and

an optical isomer, a diastereomer, an enantiomer, a pharmaceutically-acceptable salt, a biohydrolyzable amide, a biohydrolyzable ester, and a biohydrolyzable imide of the structure.

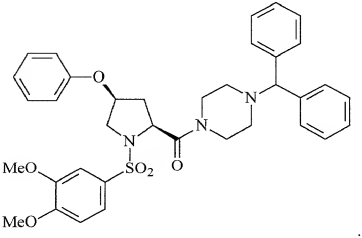
2. The compound of claim 1, wherein A has 5 to 6 members.

3. The compound of claim 1, wherein R^2 and R^3 form a substituted heterocyclic group having 5 to 6 members.

4. The compound of claim 3, wherein the substituted heterocyclic group is substituted with a group selected from the group consisting of an aromatic group; a substituted aromatic group; a heteroaromatic group; a substituted heteroaromatic group; a substituted hydrocarbon group, wherein the substituted hydrocarbon group is substituted with a group selected from the group consisting of an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group; and a substituted heterogenous group, wherein the substituted heterogenous group is substituted with a group selected from the group consisting of an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group.

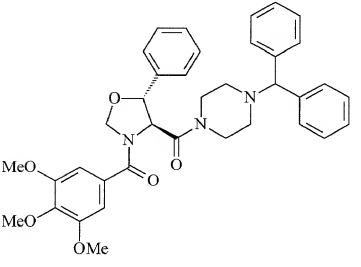
5. The compound of claim 1, wherein R^4 is $-S(O)_2-$ and R^5 is $-O_tR^6$.

6. The compound of claim 5, wherein the compound has a formula:



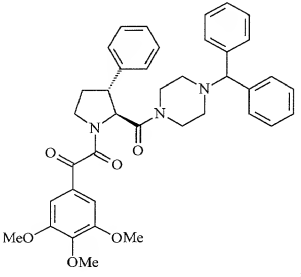
7. The compound of claim 1, wherein R^4 is -C(O)- and R^5 is $-O_tR^6$.

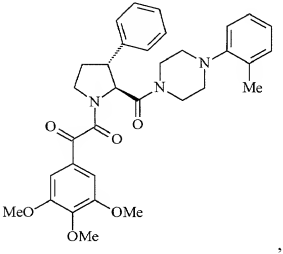
8. The compound of claim 7, wherein the compound has a formula:



9. The compound of claim 1, wherein R^4 is –C(O)-C(O)- and R^5 is $-O_tR^6$

10. The compound of claim 9, wherein the compound has a formula selected from the group consisting of:





, and

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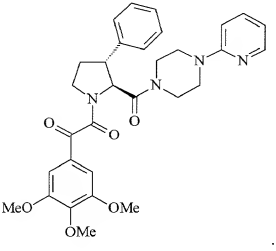

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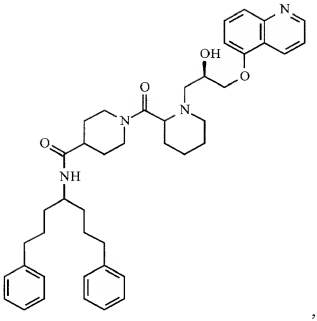
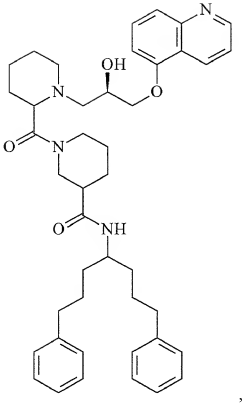
11. The compound of claim 1, wherein R^4 is $-CH(R^1)-$ and R^5 is $-O_rR^6$,

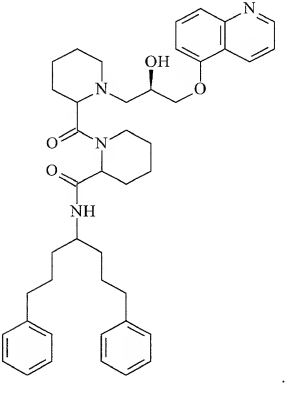
12. The compound of claim 11, wherein the compound has a formula selected from the group consisting of:



,



, and



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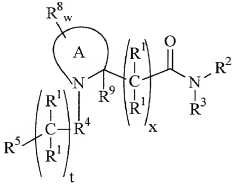
13. A composition for treating multidrug resistance comprising:

(A) an active compound selected from the group consisting of a a structure



wherein w is 0 to about 6, x is 0 to about 10, and t is 0 to about 6;

A is a substituted heterocyclic group having about 4 to about 9 members;

R^1 is selected from the group consisting of a hydrogen atom, a hydroxyl group, a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group,

R^2 and R^3 are bonded together to form a substituted heterocyclic group having about 4 to about 9 members, with the proviso that the substituted heterocyclic group optionally contains 1 or more members selected from the group consisting of O, and NR^{10}, wherein R^{10} is selected from the group consisting of hydrogen atom, a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group;

R^4 is selected from the group consisting of $-S(O)_2-$, -C(O)-, -C(O)-C(O)-, and $-CH(R^1)-$;

R^5 is selected from the group consisting of $-NR^6(R^7)-$ and $-O_rR^6-$,

wherein r is 0 or 1;

R^6 is selected from the group consisting of a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a

substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group; and

R^7 is selected from the group consisting of a hydrogen atom and R^6;

R^8 is selected from the group consisting of a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group;

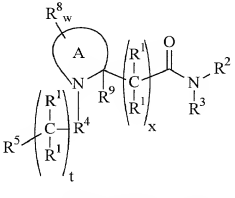
R^9 is selected from the group consisting of a hydrogen atom and a hydrocarbon group; and

an optical isomer, a diastereomer, an enantiomer, a pharmaceutically-acceptable salt, a biohydrolyzable amide, a biohydrolyzable ester, and a biohydrolyzable imide of the structure, and combinations thereof; and

(B) a carrier.

14. The composition of claim 13, further comprising: component (C) a therapeutic agent selected from the group consisting of (i) a cancer therapeutic agent, (ii) an antibacterial agent, (iii) an antiviral agent, (iv) an antifungal agent, and combinations thereof.

15. A method for inhibiting transport protein activity comprising administering, to a subject, a compound selected from the group consisting of a structure:



wherein w is 0 to about 6, x is 0 to about 10, and t is 0 to about 6;

A is a substituted heterocyclic group having about 4 to about 9 members;

R^1 is selected from the group consisting of a hydrogen atom, a hydroxyl group, a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group,

R^2 and R^3 are bonded together to form a substituted heterocyclic group having about 4 to about 9 members, with the proviso that the substituted heterocyclic group optionally contains 1 or more members selected from the group consisting of O, and NR^{10}, wherein R^{10} is selected from the group consisting of hydrogen atom, a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group;

R^4 is selected from the group consisting of $-S(O)_2-$, -C(O)-, -C(O)-C(O)-, and $-CH(R^1)-$;

R^5 is selected from the group consisting of $-NR^6(R^7)-$ and $-O_rR^6-$,

wherein r is 0 or 1;

R^6 is selected from the group consisting of a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group; and

R^7 is selected from the group consisting of a hydrogen atom and R^6;

R^8 is selected from the group consisting of a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group;

R^9 is selected from the group consisting of a hydrogen atom and a hydrocarbon group;

an optical isomer, a diastereomer, an enantiomer, a pharmaceutically-acceptable salt, a biohydrolyzable amide, a biohydrolyzable ester, and a biohydrolyzable imide of the structure; and combinations thereof.

16. The method of claim 15, further comprising coadministering component (C) a therapeutic agent.

17. The method of claim 16, wherein component (C) is coadministered at a time selected from the group consisting of before, during, and after administration of component (A); and combinations thereof.